

ally substituted C₁-C₁₃ alkyl, optionally substituted aryl, optionally substituted aryl-C₁-C₄-alkyl-, optionally substituted heterocycl, and optionally substituted heteroaryl-C₁-C₄-alkyl- (preferably hydrogen or optionally substituted C₄-C₁₃ alkyl).

31. A compound according to claim 30, wherein R₄ is chosen from hydrogen, C₁-C₄ alkyl; cyclohexyl; phenyl substituted with hydroxyl, C₁-C₄ alkoxy or C₁-C₄ alkyl; benzyl; heteroarylmethyl-; heteroarylethyl-; heteroarylpropyl-; and R₁₆-alkylene-, wherein R₁₆ is hydroxyl, di(C₁-C₄ alkyl) amino-, (C₁-C₄ alkyl)amino-, amino, C₁-C₄ alkoxy-, or N-heterocycl-, particularly pyrrolidino, piperidino or imidazolyl.

32. A compound according to claim 31, wherein R₄ is R₁₆-alkylene- wherein R₁₆ is hydroxyl, di(C₁-C₄ alkyl) amino-, amino, C₁-C₄ alkoxy, or N-heterocycl.

33. A compound according to claim 1, 2 or 3, wherein R₁₂ is —NH(R₄) and R₄ is chosen from hydrogen, optionally substituted C₁-C₁₃ alkyl, optionally substituted aryl, optionally substituted aryl-C₁-C₄-alkyl-, optionally substituted heterocycl, and optionally substituted heteroaryl-C₁-C₄-alkyl- (preferably hydrogen or optionally substituted C₁-C₁₃ alkyl).

34. A compound according to claim 33, wherein R₄ is R₁₆-alkylene- wherein R₁₆ is hydroxyl, di(C₁-C₄ alkyl) amino-, (C₁-C₄ alkyl)amino-, amino, C₁-C₄ alkoxy, or N-heterocycl.

35. A compound according to claim 1, 2, or 3, wherein R₁₂ is —N(R₄)(COR₃) and R₄ is chosen from hydrogen, C₁-C₄ alkyl; cyclohexyl; phenyl substituted with hydroxyl, C₁-C₄ alkoxy or C₁-C₄ alkyl; benzyl; heteroarylmethyl-; heteroarylethyl-; heteroarylpropyl-; and R₁₆-alkylene-, wherein R₁₆ is hydroxyl, di(C₁-C₄ alkyl) amino-, (C₁-C₄ alkyl)amino-, amino, C₁-C₄ alkoxy-, or N-heterocycl-, particularly pyrrolidino, piperidino or imidazolyl.

36. A compound according to claim 35, wherein R₄ is R₁₆-alkylene-, and R₁₆ is C₁-C₄ alkoxy, nitro, amino, alkylamino, dialkylamino, hydroxyl, or N-heterocycl.

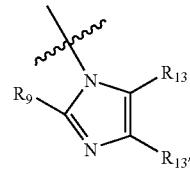
37. A compound according to claim 36, wherein R₄ is R₁₆-alkylene-, and R₁₆ is amino.

38. A compound according to claim 36, wherein R₄ is chosen from hydrogen, methyl, ethyl, propyl, butyl, cyclohexyl, carboxyethyl, carboxymethyl, methoxyethyl-, hydroxyethyl-, hydroxypropyl-, dimethylaminoethyl-, dimethylaminopropyl-, diethylaminoethyl-, diethylaminopropyl-, aminopropyl-, methylaminopropyl-, 2,2-dimethyl-3-(dimethylamino)propyl-, 1-cyclohexyl-4-(diethylamino)butyl-, aminoethyl-, aminopropyl-, aminobutyl-, aminopentyl-, aminoethyl-, aminoethoxyethyl-, isopropylaminopropyl-, diisopropylaminoethyl-, 1-methyl-4-(diethylamino)butyl-, (t-Boc)aminopropyl-, hydroxyphenyl-, benzyl-, methoxyphenyl-, methylmethoxyphenyl-, dimethylphenyl-, tolyl, ethylphenyl-, (oxopyrrolidinyl)propyl-, (methoxycarbonyl)ethyl-, benzylpiperidinyl-, pyridylethyl-, pyridinylmethyl-, morpholinylethyl-, morpholinylpropyl-, piperidinyl-, azetidinylmethyl-, azetidinylethyl-, azetidinylpropyl-, pyrrolidinylethyl-, pyrrolidinylpropyl-, piperidinylmethyl-, piperidinylethyl-, imidazolylpropyl-, imidazolylethyl-, (ethylpyrrolidinyl)methyl-, (methylpyrrolidinyl)ethyl-, (methylpiperidinyl)propyl-, (methylpiperazinyl)propyl-, furanyl methyl- and indolylethyl.

39. A compound according to claim 38, wherein R₄ is aminoethyl-, aminopropyl-, aminobutyl-, aminopentyl-, aminoethyl-, methylaminooethyl-, methylaminopropyl-, methylaminobutyl-, methylaminopentyl-, methylaminohexyl-,

dimethylaminoethyl-, dimethylaminopropyl-, dimethylaminobutyl-, dimethylaminopentyl-, dimethylaminohexyl-, ethylaminoethyl-, ethylaminopropyl-, ethylaminobutyl-, ethylaminopentyl-, ethylaminohexyl-, diethylaminoethyl-, diethylaminopropyl-, diethylaminobutyl-, diethylaminopentyl-, or diethylaminohexyl.

40. A compound according to claim 1, 2 or 3, wherein R₁₂ is an imidazole and R₁₂ has the formula:



wherein:

R₉ is chosen from hydrogen, optionally substituted C₁-C₈ alkyl-, optionally substituted aryl-, optionally substituted aryl-C₁-C₄-alkyl-, optionally substituted heteroaryl-C₁-C₄-alkyl-, optionally substituted aryl-C₁-C₄-alkoxy, optionally substituted heteroaryl-C₁-C₄-alkoxy, optionally substituted heteroaryl; and

R₁₃ and R_{13'} are independently hydrogen, optionally substituted C₁-C₈ alkyl-, optionally substituted aryl-, or optionally substituted aryl-C₁-C₄-alkyl.

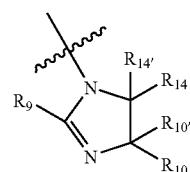
41. A compound according to claim 40, wherein R₉ is phenyl substituted with C₁-C₄-alkyl, C₁C₄-alkoxy-, and/or halo; phenyl; or benzyl.

42. A compound according to claim 41, wherein R₉ is tolyl; halophenyl; or halomethylphenyl.

43. A compound according to claim 40, wherein R₁₃ is hydrogen and R_{13'} is substituted C₁-C₄ alkyl.

44. A compound according to claim 43, wherein R₁₃ is hydrogen and R_{13'} is aminomethyl-, aminoethyl-, aminopropyl-, acetylamino-methyl-, acetylaminoethyl-, benzyloxy-carbonylamino-methyl- or benzyloxycarbonylamino-ethyl.

45. A compound according to claim 1, 2 or 3, wherein R₁₂ is an imidazoline and R₁₂ has the formula



wherein:

R₉ is chosen from hydrogen, optionally substituted C₁-C₈ alkyl-, optionally substituted aryl-, optionally substituted aryl-C₁-C₄-alkyl-, and optionally substituted heteroaryl; and

R₁₀, R_{10'}, R₁₄ and R_{14'} are independently chosen from hydrogen, optionally substituted C₁-C₈ alkyl-, optionally substituted aryl-, and optionally substituted aryl-C₁-C₄-alkyl.

46. A compound according to claim 45, wherein R₉ is methylenedioxyphenyl; phenyl; C₁-C₄ alkyl-, C₁-C₄ alkoxy-, and/or halo-substituted phenyl; or benzyl.